Broad search for 10/799,404 10/799,406 10/799,407



chain nodes :
17 18 20 21 22
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15
chain bonds :
4-22 9-10 11-20
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 10-11 10-15 11-12 12-13 13-14 14-15
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 4-22 5-6 5-7 6-9 7-8 8-9 9-10 10-11 10-15 11-12 11-20 12-13 13-14 14-15
isolated ring systems :
containing 1 : 10 :

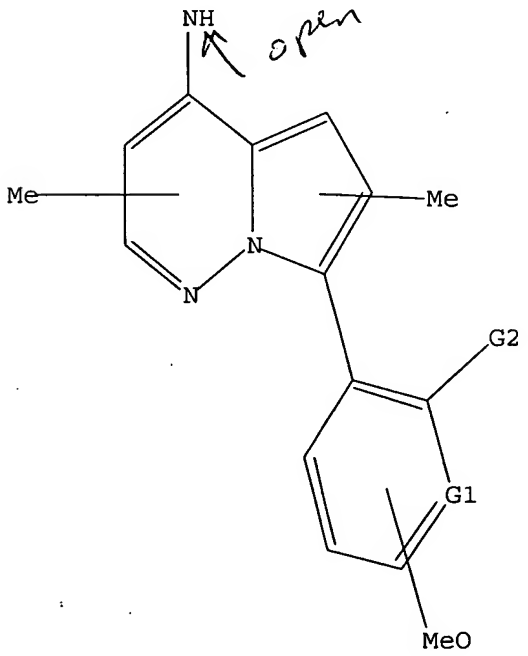
G1:C,N

G2:CH3,X

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 17:CLASS 18:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR




G1 C,N
G2 Me,X

<10/07/2005> Habte

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED -        7 TO ITERATE

100.0% PROCESSED         7 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            7 TO      298
PROJECTED ANSWERS:               0 TO        0

L2             0 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 09:24:28 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -       87 TO ITERATE

100.0% PROCESSED        87 ITERATIONS                               8 ANSWERS
SEARCH TIME: 00.00.01

L3             8 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                      ENTRY    SESSION
FULL ESTIMATED COST                                  161.33     161.54

FILE 'CAPLUS' ENTERED AT 09:24:33 ON 07 OCT 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 7 Oct 2005  VOL 143 ISS 16
FILE LAST UPDATED: 6 Oct 2005  (20051006/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.

=> s l3
L4             3 L3
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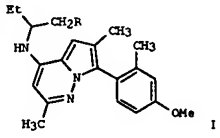
=> d ibib abs hitstr tot

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:857604 CAPLUS
DOCUMENT NUMBER: 141:332205
TITLE: Preparation of pyrrolo[1,2-b]pyridazine compounds as CRF receptor antagonists for the treatment of disorders such as anxiety and depression
INVENTOR(S): Fu, Jian-min
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004087708 | A1 | 20041014 | WO 2004-IB1006 | 20040322 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2004209887 | A1 | 20041021 | US 2004-799404 | 20040312 |

PRIORITY APPLN. INFO.: US 2003-460698P P 20030404
GI



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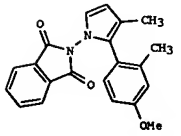

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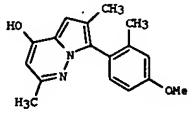


III

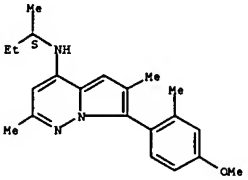
L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

AB Disclosed are novel CRF receptor antagonists and their use in the treatment of a variety of disorders, including disorders manifesting hypersecretion of CRF, or associated with CRF or CRF receptors, such as anxiety, and depression. The CRF receptor antagonists of the invention have the structure of formula I (R = H or Me), including stereoisomers or mixts. of stereoisomers, pharmaceutically acceptable prodrugs, or pharmaceutically acceptable salts. Compds. I were tested in several biol. assays, and had IC50 values of less than 3 nM in a CRF1 receptor binding assay. For example, 4-bromo-3-methylanisole was treated with t-BuLi followed by reaction with α-methyl-γ-butyrolactone to give a ring-opened hydroxy ketone, which underwent Swern oxidation to yield the corresponding formyl ketone. This dicarbonyl compound was cyclized with N-aminophthalimide to afford pyrrole II, which was deprotected with hydrazine and then converted to hydroxybicycle III via cyclocondensation with Et trans-3-ethoxycrotonate. Bromination of III with PBr3 followed by amination of the resulting bromide with (S)-sec-butylamine led to pyrrolo[1,2-b]pyridazine (S)-I (R = H). Claimed uses also include (1) use of labeled compds. I in competitive binding assays for screening of other CRF receptor ligands, and (2) use of labeled I for detecting CRF receptors in tissues.

IT 773086-71-8P 773086-72-9P 773086-73-0P
RL: ARG (Analytical reagent use); BSU (Biological study, unclassified); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrrolopyridazine derivs. as CRF receptor antagonists)

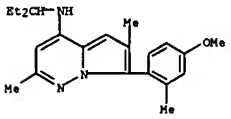
RN 773086-71-8 CAPLUS
CN Pyrrolo[1,2-b]pyridazin-4-amine, 7-(4-methoxy-2-methylphenyl)-2,6-dimethyl-N-[(1S)-1-methylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

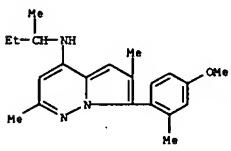


RN 773086-72-9 CAPLUS
CN Pyrrolo[1,2-b]pyridazin-4-amine, N-(1-ethylpropyl)-7-(4-methoxy-2-methylphenyl)-2,6-dimethyl- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 773086-73-0 CAPLUS
CN Pyrrolo[1,2-b]pyridazin-4-amine, 7-(4-methoxy-2-methylphenyl)-2,6-dimethyl-N-(1-methylpropyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:857173 CAPLUS
DOCUMENT NUMBER: 141:350182
TITLE: Preparation of pyrrolo[1,2-b]pyridazine compounds and their use as CRF receptor antagonists
INVENTOR(S): Fu, Jian-min
PATENT ASSIGNEE(S): Pfizer Inc, USA
SOURCE: U.S. Pat. Appl. Publ., 12 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 2004204415 | A1 | 20041014 | US 2004-799407 | 20040312 |
| WO 2004087709 | A1 | 20041014 | WO 2004-IB951 | 20040322 |
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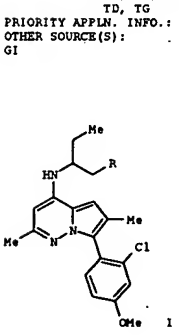
| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |

PRIORITY APPLN. INFO.: US 2003-460734P P 20030404
OTHER SOURCE(S): MARPAT 141:350182
GI

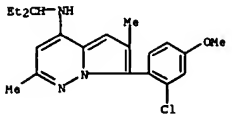


I

AB The title compds. [I; R = H, Me], useful in the treatment of a variety of disorders, including disorders manifesting hypersecretion of CRF or associated with CRF or CRF receptors, such as anxiety, and depression, were prepared E.g., a multi-step synthesis of I [R = Me], starting from 4-bromo-3-chloroanisole and α-methyl-γ-butyrolactone, was given. The compds. I showed Ki of <2.0 nM in in vitro CRF1 receptor

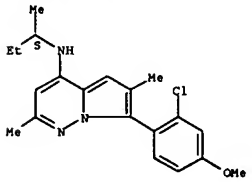
OWN work

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
binding assay. The pharmaceutical compn. comprising the compd. I is claimed.
IT 775345-59-0P 775345-60-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolo[1,2-b]pyridazine compds. and their use as CRF receptor antagonists)
RN 775345-59-0 CAPLUS
CN Pyrrolo[1,2-b]pyridazin-4-amine, 7-(2-chloro-4-methoxyphenyl)-N-(1-ethylpropyl)-2,6-dimethyl- (9CI) (CA INDEX NAME)



RN 775345-60-3 CAPLUS
CN Pyrrolo[1,2-b]pyridazin-4-amine, 7-(2-chloro-4-methoxyphenyl)-2,6-dimethyl-N-[(1S)-1-methylpropyl]- (9CI) (CA INDEX NAME)

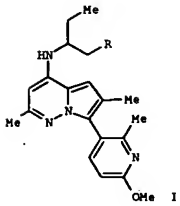
Absolute stereochemistry.



L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:857172 CAPLUS
DOCUMENT NUMBER: 141:325761
TITLE: Pyrrolo[1,2-b]pyridazine compound corticotropin-releasing factor (CRF) receptor antagonists and their use in the treatment of CRF- and CRF receptor-associated disorders
INVENTOR(S): Fu, Jian-min
PATENT ASSIGNEE(S): Pfizer Inc, USA
SOURCE: U.S. Pat. Appl. Publ., 11 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

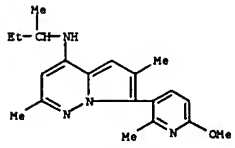
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 2004204414 | A1 | 20041014 | US 2004-799406 | 20040312 |
| WO 2004087710 | A1 | 20041014 | WO 2004-IB971 | 20040322 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |

PRIORITY APPLN. INFO.: US 2003-459744P P 20030402
GI

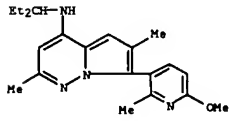


AB The invention discloses CRF receptor antagonists and their use as treatment of a variety of disorders, including disorders manifesting

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
hypersecretion of CRF or assocd. with CRF or CRF receptors, e.g. anxiety and depression. CRF receptor antagonists of the invention have structure I (R = H, Me), including stereoisomers or mixts. of stereoisomers, pharmaceutically acceptable prodrugs, or pharmaceutically acceptable salts thereof.
IT 773059-40-8 773059-41-9 773059-42-0
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pyrrolopyridazine compound CRF receptor antagonists, and use in treatment of CRF- and CRF receptor-associated disorders)
RN 773059-40-8 CAPLUS
CN Pyrrolo[1,2-b]pyridazin-4-amine, 7-(6-methoxy-2-methyl-3-pyridinyl)-2,6-dimethyl-N-(1-methylpropyl)- (9CI) (CA INDEX NAME)



RN 773059-41-9 CAPLUS
CN Pyrrolo[1,2-b]pyridazin-4-amine, N-(1-ethylpropyl)-7-(6-methoxy-2-methyl-3-pyridinyl)-2,6-dimethyl- (9CI) (CA INDEX NAME)



RN 773059-42-0 CAPLUS
CN Pyrrolo[1,2-b]pyridazin-4-amine, 7-(6-methoxy-2-methyl-3-pyridinyl)-2,6-dimethyl-N-[(1S)-1-methylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)